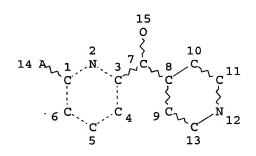
L1 HAS NO ANSWERS L1 STR



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 9 3
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

=> s l1 ful FULL SEARCH INITIATED 08:31:57 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 17390 TO ITERATE

100.0% PROCESSED 17390 ITERATIONS SEARCH TIME: 00.00.01

100 ANSWERS

L3

100 SEA SSS FUL L1

2003:818416 CAPLUS

139:323436 DN

. ن

AN

ΤI

Preparation of pyridinoylpiperidines as 5-HT1F agonists Cohen, Michael Philip; Kohlman, Daniel Timothy; Liang, Sidney Xi; Mancuso, IN Vincent; Victor, Frantz; Xu, Yao-Chang; Ying, Bai-Ping; Zacherl, Deanna Piatt; Zhang, Deyi

PΑ Eli Lilly and Company, USA

so PCT Int. Appl., 90 pp.

CODEN: PIXXD2

Patent DT

English LΑ

					KIND DATE				APPLICATION NO.						DATE			
PI						A1 , 20031016				WO 2003-US8455					20030327			
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	, EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	, KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
												, MW,						
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG	, sk,	SL,	ТJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	, ZM,	zw					
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	, CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	ΗU,	ΙE,	IT,	LU,	MC.	, NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	, GW,	ML,	MR,	ΝE,	SN,	TD,	TG
	TW	2634	97			В		2006	1011		TW 2	2003-	9210	6334		2	0030	321
		CA 2478229			A 20051125			TW 2003-92106334 NZ 2003-534952						20030324				
					A1					CA 2003-2478229								
	ΑU	2003	2247															
	EΡ									EP 2003-721402					20030327			
	EΡ	1492786					2006											
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
												TR,		•				
	BR	2 0.0 3	0084															
	CN	N 1642939			Α	A 20050720				CN 2003-807363					20030327			
	JP	P 2005530722				\mathbf{T}	20051013				JP 2003-582146 AT 2003-721402					20030327		
	AT	3415	43			T		2006	1015								0030	
	za	2004	0076	66		Α		2006	0222		ZA 2	2004-	7666			2	0040	922
	US	2005	2222	06		A1		2005	1006		US 2	2004-	5097	70		2	0040	928
	NO	2004	0046	54								2004-				_		
	HK	1073	464			A1		2007	0504		HK 2	2005-	1049	36		2	0050	513
PRAI		2002																
	_	2003				W		2003										
OS GI	CAS	SREAC'	T 13	9:32	3436	; MA	RPAT	139	:323	436								

Title compds. [I; R1 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, AΒ Ph, heterocycle; R2 = H, alkyl, cycloalkylalkyl, pyrazolylalkyl; R3 = H, alkyl; R4 = H, halo, alkyl; R5 = H, alkyl], were prepared for activating 5-HT1F receptors, inhibiting neuronal protein extravasation, and for the

I

treatment or prevention of migraine. Thus, 2-amino-6-(1-methylpiperidin-4-ylcarbonyl)pyridine (preparation given), 4-fluorobenzoyl chloride, and Et3N were stirred in CH2Cl2 at room temperature for 4 h to give 4-fluoro-N-[6-(1-methylpiperidin-4-ylcarbonyl)pyridin-2-yl]benzamide dihydrochloride. I bound to as 5-HT1F receptors with Ki <300 nM. I drug formulations are given.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d scan 121

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzamide, 5-bromo-2-methoxy-N-[6-[(1-methyl-4-piperidinyl)carbonyl]-2-

pyridinyl] - (9CI) MF C20 H22 Br N3 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

OMe

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):15

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzamide, 4-fluoro-N-[6-[(1-methyl-4-piperidinyl)carbonyl]-2-pyridinyl]-2-

(trifluoromethyl) -, monohydrochloride (9CI)

MF C20 H19 F4 N3 O2 . Cl H

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 7-Benzofurancarboxylic acid, 2,3-dihydro-

MF C9 H8 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Propanal

MF C3 H6 O

CI COM

 $H_3C-CH_2-CH=0$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzamide, 2-methoxy-6-methyl-N-[6-[(1-methyl-4-piperidinyl)carbonyl]-2-

pyridinyl] - (9CI)

MF C21 H25 N3 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 1H-Indole-3-carboxamide, N-[6-[(1-methyl-4-piperidinyl)carbonyl]-2pyridinyl]- (9CI)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN IN Benzoic acid, 2-methoxy-4-(methylthio)-MF C9 H10 O3 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN IN 3-Furancarboxylic acid

MF C5 H4 O3

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzamide, 2,6-difluoro-N-[6-[(1-methyl-4-piperidinyl)carbonyl]-2 pyridinyl]- (9CI)
MF C19 H19 F2 N3 O2

$$\begin{array}{c|c} F & & \\ \hline & C & O \\ \hline & NH & \\ \hline & N & C \\ \hline \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzamide, N-ethyl-2,4,6-trifluoro-N-[6-[(1-methyl-4-piperidinyl)carbonyl]-

2-pyridinyl]- (9CI) MF C21 H22 F3 N3 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzoic acid, 2-[(4,6-dimethoxy-2-pyrimidinyl)oxy]- (9CI)

MF C13 H12 N2 O5

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 1,4-Benzenedicarboxylic acid, 1-methyl ester

MF C9 H8 O4

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Benzamide, 2-fluoro-N-[6-[(1-methyl-4-piperidinyl)carbonyl]-2-pyridinyl]-4-

(trifluoromethyl) - (9CI)

MF C20 H19 F4 N3 O2

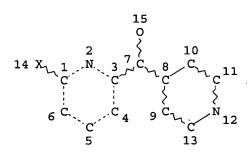
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 155 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 3-Furancarboxamide, N-[6-[(1-methyl-4-piperidinyl)carbonyl]-2-pyridinyl](9CI)

MF C17 H19 N3 O3

=> d l4 L4 HAS NO ANSWERS L4 STR



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 9 3
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

=> search 14
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:13
'L3' IS NOT A VALID SEARCH SCOPE
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):13
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 08:32:27 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS SEARCH TIME: 00.00.01

11 ANSWERS

L5 11 SEA SUB=L3 SSS FUL L4

```
=> s 15
             2 L5
L6
=> d bib abs 1-2
     ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN
     2003:818416 CAPLUS
DN
     Preparation of pyridinoylpiperidines as 5-HT1F agonists
ΤI
     Cohen, Michael Philip; Kohlman, Daniel Timothy; Liang, Sidney Xi; Mancuso,
IN
     Vincent; Victor, Frantz; Xu, Yao-Chang; Ying, Bai-Ping; Zacherl, Deanna
     Piatt; Zhang, Deyi
     Eli Lilly and Company, USA
PA
SO
     PCT Int. Appl., 90 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                 DATE
                                             APPLICATION NO.
                                                                    DATE
                         ----
                                 -----
                                             -----
                                 20031016
                                             WO 2003-US8455
PΙ
     WO 2003084949
                          A1
                                                                     20030327
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
         W:
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     TW 263497
                          В
                                 20061011
                                             TW 2003-92106334
                                                                     20030321
     NZ 534952
                          Α
                                 20051125
                                             NZ 2003-534952
                                                                     20030324
     CA 2478229
                          A1
                                 20031016
                                             CA 2003-2478229
                                                                     20030327
                                             AU 2003-224719
     AU 2003224719
                          A1
                                 20031020
                                                                     20030327
                                             EP 2003-721402
     EP 1492786
                          A1
                                 20050105
                                                                     20030327
     EP 1492786
                          B1
                                 20061004
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003008495
                          Α
                                 20050201
                                             BR 2003-8495
                                                                     20030327
     CN 1642939
                          Α
                                 20050720
                                             CN 2003-807363
                                                                     20030327
                          T
     JP 2005530722
                                 20051013
                                             JP 2003-582146
                                                                     20030327
                          Т
     AT 341543
                                 20061015
                                             AT 2003-721402
                                                                     20030327
     ZA 2004007666
                          Α
                                 20060222
                                             ZA 2004-7666
                                                                     20040922
                                             US 2004-509770
     US 2005222206
                          A1
                                 20051006
                                                                    20040928
     NO 2004004654
                          Α
                                 20041028
                                             NO 2004-4654
                                                                    20041028
     HK 1073464
                          A1
                                20070504
                                             HK 2005-104936
                                                                    20050613
PRAI US 2002-369088P
                          Ρ
                                 20020329
     WO 2003-US8455
                          W
                                20030327
os
     CASREACT 139:323436; MARPAT 139:323436
GI
```

Ι

- AB Title compds. [I; R1 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, Ph, heterocycle; R2 = H, alkyl, cycloalkylalkyl, pyrazolylalkyl; R3 = H, alkyl; R4 = H, halo, alkyl; R5 = H, alkyl], were prepared for activating 5-HT1F receptors, inhibiting neuronal protein extravasation, and for the treatment or prevention of migraine. Thus, 2-amino-6-(1-methylpiperidin-4-ylcarbonyl)pyridine (preparation given), 4-fluorobenzoyl chloride, and Et3N were stirred in CH2Cl2 at room temperature for 4 h to give 4-fluoro-N-[6-(1-methylpiperidin-4-ylcarbonyl)pyridin-2-yl]benzamide dihydrochloride. I bound to as 5-HT1F receptors with Ki <300 nM. I drug formulations are given.
- RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1999:218963 CAPLUS
- DN 130:352171
- TI Synthesis and antinociceptive activity of some 3-chlorophenyl- and 6-chloro-2-pyridinyl derivatives
- AU Radl, Stanislav; Hafner, Wieland; Hezky, Petr; Krejci, Ivan; Proska, Jan; Hajicek, Josef
- CS Research Institute of Pharmacy and Biochemistry, Prague, 13060/3, Czech Rep.
- SO Collection of Czechoslovak Chemical Communications (1999), 64(2), 377-388 CODEN: CCCCAK; ISSN: 0010-0765
- PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
- DT Journal
- LA English
- Derivs. of 2-chloro-6-(4-hydroxy-1-methyl-4-piperidinyl)pyridine were prepared and tested as analgesics. 2-Chloro-6-lithiopyridine treated with 3-quinuclidinone, 1-methyl-3-pyrrolidinone, 2- (dimethylaminomethyl)cyclohexanone, and Et 1-methyl-4- piperidinylcarboxylate provided the corresponding alcs. A ketone was reduced with sodium borohydride or treated with methylmagnesium chloride or phenyllithium to provide the corresponding alcs. 1-[4-(6-Chloro-2-pyridyl)-1-methyl-4-piperidinyl]-1-methylethanol was prepared from 2-chloro-6-(1-methyl-1,2,5,6-tetrahydro-4-pyridinyl)pyridine by treatment with butyllithium and acetone followed by reduction of an intermediate with sodium cyanoborohydride.
- RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 2

- L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1999:218963 CAPLUS
- DN 130:352171
- TI Synthesis and antinociceptive activity of some 3-chlorophenyl- and 6-chloro-2-pyridinyl derivatives
- AU Radl, Stanislav; Hafner, Wieland; Hezky, Petr; Krejci, Ivan; Proska, Jan; Hajicek, Josef
- CS Research Institute of Pharmacy and Biochemistry, Prague, 13060/3, Czech Rep.
- SO Collection of Czechoslovak Chemical Communications (1999), 64(2), 377-388 CODEN: CCCCAK; ISSN: 0010-0765
- PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
- DT Journal
- LA English
- AB Derivs. of 2-chloro-6-(4-hydroxy-1-methyl-4-piperidinyl)pyridine were prepared and tested as analgesics. 2-Chloro-6-lithiopyridine treated with 3-quinuclidinone, 1-methyl-3-pyrrolidinone, 2- (dimethylaminomethyl)cyclohexanone, and Et 1-methyl-4-

piperidinylcarboxylate provided the corresponding alcs. A ketone was reduced with sodium borohydride or treated with methylmagnesium chloride or phenyllithium to provide the corresponding alcs. 1-[4-(6-Chloro-2-pyridyl)-1-methyl-4-piperidinyl]-1-methylethanol was prepared from 2-chloro-6-(1-methyl-1,2,5,6-tetrahydro-4-pyridinyl)pyridine by treatment with butyllithium and acetone followed by reduction of an intermediate with sodium cyanoborohydride.

IT 225112-39-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and analgesic activity of (piperidinyl)pyridinemethanol or (pyridinyl)cyclohexanol or (pyridinyl)piperidinemethanol derivs.)

RN 225112-39-0 CAPLUS

2-Pyridinemethanol, 6-chloro- α -(1-methyl-4-piperidinyl)- α -phenyl-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 225112-26-5 CMF C18 H21 C1 N2 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

$$HO_2C$$
Z CO_2H

IT 225112-16-3P 225112-26-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and analgesic activity of (piperidinyl)pyridinemethanol or (pyridinyl)cyclohexanol or (pyridinyl)piperidinemethanol derivs.)

RN 225112-16-3 CAPLUS

CN Methanone, (6-chloro-2-pyridinyl)(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 225112-26-5 .CAPLUS CN 2-Pyridinemethanol, 6-chloro- α -(1-methyl-4-piperidinyl)- α -phenyl- (9CI) (CA INDEX NAME)

```
(FILE 'CAPLUS' ENTERED AT 08:43:31 ON 30 MAY 2007)
L23
                 ·7 S L3
L24
                  5 S L3 NOT L6
=> d bib abs hitstr 1-5
      ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
      2006:766432 CAPLUS
AN
DN
      145:195697
      Compositions comprising a 5-HTiF-specific agonist and an NSADD and
TI
      therapeutic methods for migraine and headache pain
IN
      Plachetka, John R.
      Pozen Inc., USA
PA
      PCT Int. Appl., 35pp.
SO
      CODEN: PIXXD2
DT
      Patent
LA
      English
FAN.CNT 1
      PATENT NO.
                                KIND
                                         DATE
                                                       APPLICATION NO.
                                                                                       DATE
                                         _ - - - - - -
                                ----
                                                         -----
                                                         WO 2006-US1882
                                                                                       20060119
      WO 2006081127
                                 A2
                                         20060803
РΤ
      WO 2006081127
                                         20070308
                                 Α3
                AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
           W:
                AB, AB, AH, AH, AI, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
           RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
                 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
                 KG, KZ, MD, RU, TJ, TM
                                                         US 2006-332795
      US 2006178349
                                 A1
                                         20060810
                                                                                       20060117
PRAI US 2005-645599P
                                 Р
                                         20050124
      US 2006-332795
                                 Α
                                         20060117
      The present invention is directed to compns. containing a 5-HTiF-specific
AB
      agonist that acts by blocking protein extravasation together with an
                These compns. may be used to treat migraine and headache pain.
      The invention also includes methods in which these drugs are sep.
      administered to a patient.
      439239-90-4
IT
      RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
           (compns. comprising 5-HTiF-specific agonist and NSADD and therapeutic
          methods for migraine and headache pain)
RN
      439239-90-4 CAPLUS
      Benzamide, 2,4,6-trifluoro-N-[6-[(1-methyl-4-piperidinyl)carbonyl]-2-
CN
      pyridinyl] - (9CI) (CA INDEX NAME)
```

L24 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:991014 CAPLUS

DN 145:145570

TI A novel method for the synthesis of carbon-14-labeled N-[3-(1-methyl-4-piperidinyl)-1H-pyrrolo[3,2-b]pyridin-5-yl]propanamide and its use in quantitative whole-body autoradiography studies

AU Wheeler, William J.; Chay, Sylvia H.; Herman, Jennifer L.; O'Bannon, Douglas D.

CS Lilly Research Laboratories, A Division of Eli Lilly and Company, Indianapolis, IN, 46285, USA

SO Journal of Labelled Compounds & Radiopharmaceuticals (2005), 48(9), 669-681

CODEN: JLCRD4; ISSN: 0362-4803

PB John Wiley & Sons Ltd.

DT Journal

LA English

OS CASREACT 145:145570

GI

AB Sumitriptan, a non-selective 5-HT1B/1D agonist is an effective therapeutic agent for the acute treatment of migraine, but it is contraindicated for use in patients with known heart disease. The first Selective Serotonin One F Receptor Agonist (SSOFRA), 5-(4'-fluorobenzamido)-3-(N-methyl-piperidin-4-yl)-1H-indole was demonstrated to be clin. useful in the treatment of migraine. Although it exhibited high affinity for the 5-HT1F receptor as well as high selectivity for the 5-HT1F receptor relative to 5-HT1B and 5-HT1D receptors, it demonstrated appreciable affinity for the 5-HT1A receptor. Subsequently, a program was launched to discover SSOFRA's with improved selectivity over other 5-HT1 receptor subtypes. As a result of these efforts, N-[3-(1-methyl-4-piperidinyl)-1H-pyrrolo[3,2-b]pyridin-5-yl]propanamide (I) was found to possess greater than 100-fold

selectivity over 5-HT1A, 5-HT1B and 5-HT1D receptors. Pursuant to a potential clin. investigation of I, its carbon-14-labeled isotopomer has been prepared by a circuitous route from unlabeled I and used in quant. whole-body autoradiog. studies in rats. The results of these efforts are reported herein.

IT 899827-19-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and pharmacokinetics of C14-labeled propanoylamino(methylpiperidinyl)pyrrolopyridine succinate via oxidative cleavage of acetylamino(methylpiperidinyl)indole followed by cyclization reduction, and addition of succinic acid)

RN 899827-19-1 CAPLUS

CN Propanamide, N-[5-(formylamino)-6-[(1-methyl-4-piperidinyl)carbonyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:333695 CAPLUS

DN 140:339199

TI Preparation of 1,4-disubstituted piperidine derivatives and their use as $11-\beta HSD1$ inhibitors

IN Barton, Peter John; Jewsbury, Philip John; Pease, Janet Elizabeth

PA Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SO PCT Int. Appl., 144 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.CNT I															
	PATENT	NO.		KIND	DAT	ſΈ							D	ATE	
														·	
PI	WO 2004	033427		A1	200	40422	1	WO 2	003-0	GB43	18		20	0031	007
	W:	AE, AG,	AL,	AM,	AT, AU	J, AZ,	ΒA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO, CR,	CU,	CZ,	DE, DE	C, DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,
		GH, GM,	HR,	HU,	ID, II	L, IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,
		LR, LS,	LT,	LU,	LV, MA	A, MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,
		OM, PG,	PH,	PL,	PT, RO	, RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,
		TN, TR,	TT,	TZ,	UA, UC	, US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	GH, GM,	KE,	LS,	MW, M2	Z, SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG, KZ,	MD,	RU,	TJ, TN	1, AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI, FR,	GB,	GR,	HU, II	E, IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF, BJ,													
	CA 2501	611		A1	200	040422	(CA 2	003-2	2501	611		20	0310	007
	AU 2003	269242		A1	200	40504	1	AU 2	003-2	26924	42		20	0031	007
	EP 1556	349		A1	200	50727]	EP 2	003-1	75102	21		20	0310	007
	R:	AT, BE,	CH,	DE,	DK, ES	s, FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE, SI,	LT,	LV,	FI, RO), MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
		015166												00310	007
	·CN 1723	199		Α	200	60118	(CN 2	003-8	3010	5353		20	0310	007

	JP 2006506451	T	20060223	JP 2005-500993	20031007
	NO 2005001600	Α	20050613	NO 2005-1600	20050330
	US 2005256159	A1	20051117	US 2005-529951	20050401
	ZA 2005002752	Α	20060222	ZA 2005-2752	20050405
PRAI	GB 2002-23573	Α	20021011		
	GB 2003-10446	Α	20030507		
	WO 2003-GB4318	W	20031007		•
os	MARPAT 140:339199				
GT					

$$\begin{bmatrix} \mathbb{R}^{1} \end{bmatrix}_{n}$$

The title compds. [I; A = carbocyclyl, heterocyclyl; R1 = halo, NO2, CN, OH, etc.; n = 0-5; X = a bond, CO, SO2, CONR11, CSNR11, C(0)O, C(:NR11), CH2 (wherein R11 = H, alkyl, carbocyclyl, heterocyclyl); Y = H, alkyl, alkenyl, carbocyclyl, etc.; R12 = OH, Me, Et. Pr; m, q = 0-1], useful in the manufacture of a medicament for treating diabetes, obesity, hyperlipidemia, etc., were prepared Thus, reacting (4-chlorophenyl) (4-piperidyl)methanone.HCl with 4-fluorobenzoyl chloride in the presence of Et3N in DCM afforded 29% 1-(4-fluorobenzoyl)-4-(4-chlorobenzoyl)piperidine. The compds. I typically show an IC50 < 10 μ M against 11 β HSD1. The pharmaceutical composition comprising the compound I is claimed.

IT 681133-44-8P 681134-40-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,4-disubstituted piperidine derivs. and their use as $11-\beta HSD1$ inhibitors)

RN 681133-44-8 CAPLUS

CN Piperidine, 1-(4-fluorobenzoyl)-4-[(6-methyl-2-pyridinyl)carbonyl]- (9CI)
(CA INDEX NAME)

RN 681134-40-7 CAPLUS

CN Piperidine, 1-[(4-fluorophenyl)sulfonyl]-4-[(6-methyl-2-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & & & \\ N & 0 & & \\ \hline \end{array}$$

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
L24
     2002:314934 CAPLUS
AN
     136:340592
DN
     Preparation of 4-[4-(piperidin-1-ylcarbonyl)piperidin-1-ylmethyl]pyridin-2-
TI
     ylamines as antagonists of histamine H3 receptors
     Aslanian, Robert G.; Shih, Neng-Yang; Ting, Pauline C.; Berlin, Michael
IN
     Y.; Rosenblum, Stuart B.; McCormick, Kevin D.; Tom, Wing C.; Boyce,
     Christopher W.; Mangiaracina, Pietro; Mutahi, Mwangi Wa; Piwinski, John J.
     Schering Corporation, USA
PA
SO
     PCT Int. Appl., 144 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
                       KIND
                                DATE
                                          APPLICATION NO.
                                                                   DATE
                                            -----
     -----
                       ----
                                -----
PΙ
     WO 2002032893 A2
                                20020425
                                           WO 2001-US32151
                                                                   20011015
     WO 2002032893
                         A3
                                20020822
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LU, LV, MA,
             MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                20020425
                                         CA 2001-2424664
     CA 2424664
                         A1
                                                                   20011015
     AU 200215355
                                20020429
                                            AU 2002-15355
                         Α
                                                                   20011015
     US 2003045519
                                20030306
                                            US 2001-978267
                          A1
                                                                   20011015
     US 6720328
                         B2
                                20040413
     BR 2001014754
                         Α
                                20030701
                                            BR 2001-14754
                                                                   20011015
     EP 1326858
                          A2
                                20030716
                                            EP 2001-983968
                                                                   20011015
     EP 1326858
                         В1
                                20051214
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     CN 1469873
                          Α
                                20040121
                                            CN 2001-817512
                                                                   20011015
     HU 200303835
                          A2
                                20040301
                                            HU 2003-3835
                                                                   20011015
     JP 2004511553
                          Т
                                20040415
                                            JP 2002-536275
                                                                   20011015
     NZ 524857
                          Α
                                20041224
                                            NZ 2001-524857
                                                                   20011015
     EP 1571145
                         A1
                                20050907
                                          EP 2005-9405
                                                                   20011015
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR
                          \mathbf{T}
                                20051215
                                            AT 2001-983968
     AT 312833
                                                                   20011015
     ES 2250500
                          Т3
                                20060416
                                            ES 2001-1983968
                                                                   20011015
     CN 1803795
                         Α
                                20060719
                                            CN 2005-10131094
                                                                   20011015
                                            TW 2001-90125385
     TW 258474
                         В
                                20060721
                                                                   20011015
     ZA 2003002521
                         Α
                                20040630
                                            ZA 2003-2521
                                                                   20030331
     IN 2003CN00528
                         Α
                                20050415
                                            IN 2003-CN528
                                                                   20030411
     NO 2003001744
                         Α
                                20030614
                                            NO 2003-1744
                                                                   20030415
                         A1 · 20060519
     HK 1052935
                                            HK 2003-105161
                                                                   20030717
     US 2004097513
                         A1
                                20040520
                                            US 2003-699189
                                                                   20031031
     AU 2006252027
                         A1
                                20070111
                                            AU 2006-252027
                                                                   20061213
PRAI US 2000-240901P
                         P
                                20001017
     AU 2002-215355
                         A3
                                20011015
     CN 2001-817512
                         A3
                                20011015
     EP 2001-983968
                         A3
                                20011015
     US 2001-978267
                         A3
                                20011015
     WO 2001-US32151
                         W
                                20011015
os
    MARPAT 136:340592
```

GI

The title compds. [I; R1 = (un) substituted aryl, heteroaryl, alkyl, etc.; X = CO, C(NOR3), C(NNR4R5), etc.; M1 = C; M2 = C, N; M3, M4 = C, N; Y = CH2, CO, C(NOH), etc.; Z = alkyl; R2 = (un) substituted 5-6 membered heteroaryl; R3 = H, alkyl, aryl, etc.; R4 = H, alkyl, aryl, etc.; R5 = H, alkyl, COR4, etc.; R12, R13 = alkyl, OH, alkoxy, F; a, b = 0-2; n, p = 1-3, with the proviso that when M3 and M4 are both N atoms, then p = 2 or 3], useful in treating various diseases or conditions, such as, for example, allergy, allergy-induced airway responses, and congestion (e.g., nasal congestion), were prepared E.g., a multi-step synthesis of II which showed Ki of 0.83 nM in H3 receptor binging assay, was given. Also disclosed are methods of treating various diseases or conditions, such as, for example, allergy, allergy-induced airway responses, and congestion (e.g., nasal congestion) using the compds. I in combination with a H1 receptor antagonist.

·II

IT 416850-86-7P 416851-23-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of 4-[4-(piperidin-1-ylcarbonyl)piperidin-1-ylmethyl]pyridin-2-ylamines as antagonists of histamine H3 receptors)

RN 416850-86-7 CAPLUS CN Piperidine, 1-[[1-[

Piperidine, 1-[[1-[(2-amino-4-pyridinyl)methyl]-4-piperidinyl]carbonyl]-4-[(6-methoxy-2-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

$$\bigcap_{N \to 1} CH_2 - N \longrightarrow \bigcap_{N \to 1} CH_2 - N \longrightarrow \bigcap_{N \to 1} CH_2$$

RN 416851-23-5 CAPLUS

CN Piperidine, 1-[[1-[(2-amino-4-pyridinyl)methyl]-4-piperidinyl]carbonyl]-4-[(6-methyl-2-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & \\ N \\ & & \\ NH_2 \end{array}$$

L24 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1994:457281 CAPLUS

DN 121:57281

TI Dual antagonists of platelet activating factor and histamine. 2. Pyridine ring substitution of N-acetyl-4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)piperidines

AU Wong, Jesse K.; Piwinski, John J.; Green, Michael J.; Ganguly, Ashit K.; Anthes, John C.; Billah, M. Motasim

CS Dep. Chem. Res., Schering-Plough Res. Inst., Kenilworth, NJ, 07033-0539, USA

SO Bioorganic & Medicinal Chemistry Letters (1993), 3(6), 1073-8 CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LA English

GI

AB A series of pyridine ring substituted 1-acetyl-4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)piperidines (I; X, Z = H, Me, OH, OMe; Y = H, Me, Cl, Ph, OMe, SMe, CHO, CH2OH, Ac, Br), which are antagonists of both PAF and histamine, were prepared by one of three different methods. Analogs with substituents at C-3 were found to be the best dual antagonists among their corresponding regioisomers. Analogs with an electron donating substituent at the C-3 position are generally better antagonists of both PAF and histamine than analogs with electron withdrawing groups.

IT 156073-04-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reactions of, in synthesis of benzocycloheptapyridinylidene piperidines)

RN 156073-04-0 CAPLUS

CN Methanone, [3-[2-(3-chlorophenyl)ethyl]-6-methyl-2-pyridinyl](1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

Me
$$CH_2-CH_2$$
 $C1$